THE OCULAR PHARMACOKINETICS OF A TOPICAL CARBONIC ANHYDRASE INHIBITOR:
6-HYDROXYETHOXY-2-BENZOTHIAZOLE SULFONAMIDE IN RABBITS AFTER TOPICAL INSTILLATION

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Carbonic anhydrase inhibitors (CAIs) are very effective in treating glaucoma, but their clinical usefulness was limited to as second line antiglaucoma medications or acute glaucoma due to a variety of systemic side effects. Recently, after reevaluation of CAIs, it was found that CAIs could be applied topically as well as systemically to decrease intraocular pressure (IOP). However, there were very few reports on the ocular pharmacokinetics of CAIs. In this study, we used 6-hydroxythoxy-2-benzothiazole sulfonamide (6-HS) as a model drug to evaluate its pharmacokinetics in the rabbit ocular tissue after topical instillation. We found that the elimination rate constant of 6-HS in the aqueous humor of alpha-chymotrypsin induced rabbit glaucoma eyes was greater than that of normal eyes (Kₑ; 0.005 vs. 0.003). In the iris-ciliary body complex, 6-HS reached peak concentrations in the glaucoma eyes were earlier than those in normal eyes (45 minutes vs. 90 minutes). In the vitreous, the concentrations of 6-HS were twice higher in the glaucoma eyes than those in the normal eyes (4.1µg/g vs. 1.8µg/g).